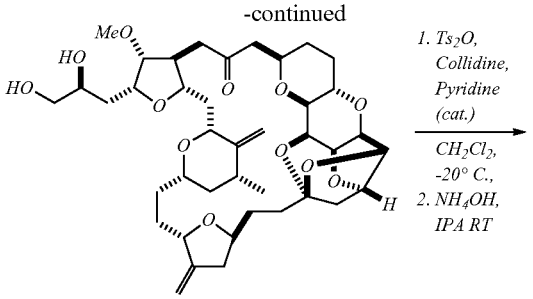
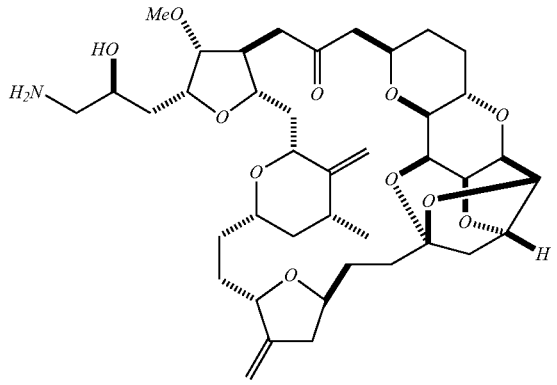
-continued



ER-076349



B-1939

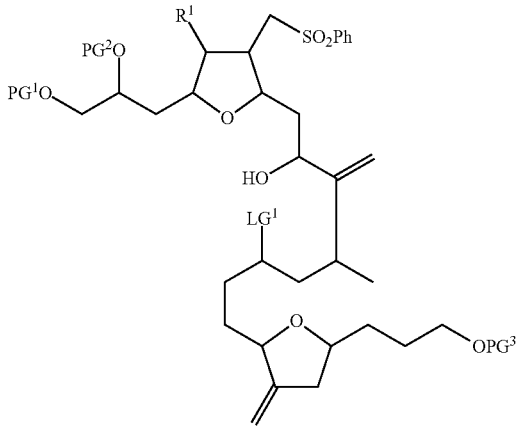
In a clean dry reaction vessel (flask C) ER-076349 (1 wt, 1 eq) was dissolved in anhydrous toluene (20 vol) and concentrated to dryness under reduced pressure. The substrate was re-dissolved in anhydrous toluene (20 vol) and concentrated to dryness. The substrate was dissolved in DCM (5 vol), and the solution placed under an argon atmosphere. Collidine (0.66 wts, 4.0 eq) was added as a single portion. Pyridine, as a solution in DCM (Flask B), was added as a single portion (5 mole %). The resulting mixture in flask C was cooled to an internal temperature of −20 to −25° C. A DCM solution of Ts_2O was added drop-wise keeping the internal temperature below −16° C. (1.02 eq). The reaction was stirred at −20 to −25° C. for 80 minutes then warmed to 0° C. over 20 minutes and stirred for an additional 20 minutes. The reaction was quenched with water (2 vol). The bath was removed, and the reaction allowed to warm to room temperature (15-20° C.) and stirred (20 minutes). The reaction was rinsed to a larger vessel using the IPA (100 vol) and aqueous ammonium hydroxide (100 vol) was added to the reaction. The reaction was stirred at room temperature for 15-36 hours, monitoring for the disappearance of the tosylate (ER-082892) and epoxide (ER-809681) which formed in situ. The reaction was concentrated to dryness or near dryness at reduced pressure. The resulting material was diluted with DCM (25-40 vol) and washed pH 10 buffer ($NaHCO_3/Na_2CO_3$ (aq), 10 vol). The aqueous phase was back extracted with 25 vol of DCM and the combined organic layers were concentrated to dryness. The resulting free amine was purified by silica gel chromatography using a buffered ACN/water mobile phase. The pooled fractions were concentrated at reduced pressure to remove ACN. The resulting aqueous layer was diluted with DCM (40 vol) and with 30 vol of a pH 10 buffered stock solution ($NaHCO_3/Na_2CO_3$). The layers were mixed well and separated. The aqueous phase was back extracted with 25 vol of DCM and the combined organic layers were concentrated to dryness. The resulting free amine was polish filtered as a solution in 3:1 DCM/pentane and concentrated to dryness (0.80 wts) to afford B-1939.

While we have described a number of embodiments of this invention, it is apparent that our basic examples may be altered to provide other embodiments that utilize the compounds and methods of this invention. Therefore, it will be appreciated that the scope of this invention is to be defined by the appended claims rather than by the specific embodiments that have been represented by way of example.

We claim:

[1. A compound of formula F-4:

F-4



wherein:

each of PG^1, PG^2, and PG^3 is independently hydrogen or a suitable hydroxyl protecting group;

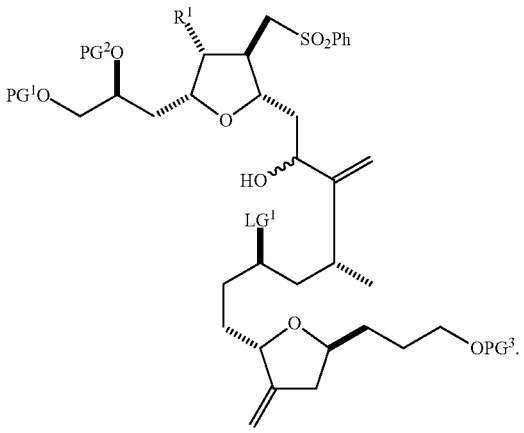
R^1 is R or —OR;

each R is independently hydrogen, C_{1-4} haloaliphatic, benzyl, or C_{1-4} aliphatic; and

LG^1 is a suitable leaving group.**]**

[2. The compound according to claim **1**, wherein said compound is of formula F-4':

F-4'



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[3. The compound according to claim **2**, wherein R^1 is OR wherein R is hydrogen, methyl, or benzyl.**]**